

wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R¹ stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R³ and R⁴ mean, independently, a hydrogen atom, a C₃₋₆ cycloalkyl group, a C₁₋₄ alkoxy group, an amino group, a phenyl group optionally substituted by one or two C₁₋₄ alkyl group(s), a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted

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by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C₁₋₄ alkoxy group, or R³ and R⁴ form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C₁₋₄ alkoxy group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, or A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R¹ represents a group of the formula -CO-(CH₂)_p-R⁶, wherein R⁶ stands for a halo atom, a phenoxy group, a C₁₋₄ alkoxy group or a group of the formula -NR⁷R⁸, wherein R⁷ and R⁸ mean, independently, a hydrogen atom, a guanyl group, a C₃₋₆ cycloalkyl group or a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and

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comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C₁₋₄ alkoxy group, or

R⁷ and R⁸ form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C₁₋₄ alkyl) group or a phenoxy(C₁₋₄ alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C₁₋₄ alkoxy group, and, in case of the phenoxy(C₁₋₄ alkyl)

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group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R^2 stands for a nitro group, an amino group or a (C_{1-4} alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R^2 stands for a nitro group or an amino group and p has a value of 0, then R^6 is different from a C_{1-4} alkoxy group,
- 2) if A forms together with B a valence bond, R^2 stands for a nitro group or an amino group, p has a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-4} alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom, a phenyl group or a C_{1-4} alkyl group, and
- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-14} alkyl group, then the other of R^3 and

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~~R⁴ is different from a hydrogen atom or a C₁₋₄ alkyl group,~~

~~5) R is other than a chlorine atom; and with the further proviso that~~

~~6) R³ and R⁴ cannot form with the adjacent nitrogen atom a pyrrolidine group,~~

~~and pharmaceutically suitable acid addition salts thereof.~~

2. (Twice Amended) A 1,3-dioxolo-[4,5-h][2,3] benzodiazepine compound as claimed in claim 1, wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R¹ stands for a group of the formula

~~-(CH₂)_n-CO-(CH₂)_m-R, wherein~~

~~R represents a pyridyl group or a group of the formula -NR³R⁴, wherein~~

~~R³ and R⁴ mean, independently, a hydrogen atom, a cyclopropyl group, a C₁₋₄ alkoxy group, an amino group, a phenyl group optionally substituted by one or two methyl group(s), or a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3~~

nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and the heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 methoxy groups, or

R^3 and R^4 form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 methoxy groups,

n has a value of 0, 1 or 2,

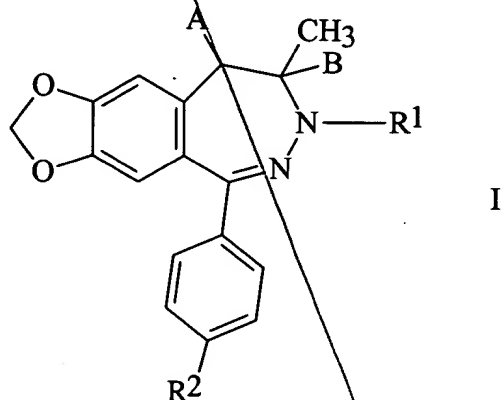
m has a value of 0, 1 or 2,

R^2 stands for a nitro group or an amino group, with the proviso that

- 1) if n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom, a phenyl group or a C_{1-4} alkyl group,
- 2) if n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-4} alkyl group, then the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group, and

3) R^3 and R^4 cannot form with the adjacent nitrogen atom a pyrrolidine group, and pharmaceutically suitable acid addition salts thereof.

9. (Twice Amended) A pharmaceutical composition comprising a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R^1 stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R^3 and R^4 mean, independently, a hydrogen atom, a C_{3-6} cycloalkyl group, a C_{1-4} alkoxy group, an amino group, a phenyl group optionally substituted by

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one or two C₁₋₄ alkyl group(s), a C₁₋₄ alkyl group which is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C₁₋₄ alkoxy group, or

R³ and R⁴ form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C₁₋₄ alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R¹ represents a group of the formula
-CO-(CH₂)_p-R⁶, wherein

R^6 stands for a halo atom, a phenoxy group, a C_{1-4} alkoxy group or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 mean, independently, a hydrogen atom, a guanyl group, a C_{3-6} cycloalkyl group or a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C_{1-4} alkoxy group, or

R^7 and R^8 form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group which is optionally substituted, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl

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group, a phenoxy group, a phenyl(C₁₋₄ alkyl) group or a phenoxy(C₁₋₄ alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C₁₋₄ alkoxy group, and, in case of the phenoxy(C₁₋₄ alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R² stands for a nitro group, an amino group or a (C₁₋₄ alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R² stands for a nitro group or an amino group and p has a value of 0, then R⁶ is different from a C₁₋₄ alkoxy group,
- 2) if A forms together with B a valence bond, R² stands for a nitro group or an amino group, p has a value of 0 or 1, and R⁶ represents a group of the formula -NR⁷R⁸, then one of R⁷ and R⁸ is different from a hydrogen atom or a C₁₋₄ alkyl group,

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- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R³ and R⁴ represents a hydrogen atom, and the other of R³ and R⁴ is different from a hydrogen atom, a phenyl group or a C₁₋₄ alkyl group,
 - 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R³ and R⁴ stands for a hydrogen atom or a C₁₋₄ alkyl group, then the other of R³ and R⁴ is different from a hydrogen atom or a C₁₋₁₄ alkyl group, and
 - 5) R³ and R⁴ cannot form with the adjacent nitrogen atom a pyrrolidine group,
- or a pharmaceutically suitable acid addition salt thereof as the active ingredient and one or more conventional carrier(s).

10. (Thrice Amended) A pharmaceutical composition as claimed in Claim 9 comprising a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

- A represents a hydrogen atom,
 B means a hydrogen atom,
 R¹ stands for a group of the formula
 -(CH₂)_n-CO-(CH₂)_m-R, wherein

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R represents a pyridyl group or a group of the formula
-NR³R⁴, wherein

R³ and R⁴ mean, independently, a hydrogen atom, a cyclopropyl group, a C₁₋₄ alkoxy group, an amino group, a phenyl group optionally substituted by one or two methyl group(s), or a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 methoxy groups, or

R³ and R⁴ form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 methoxy groups,

n has a value of 0, 1 or 2,

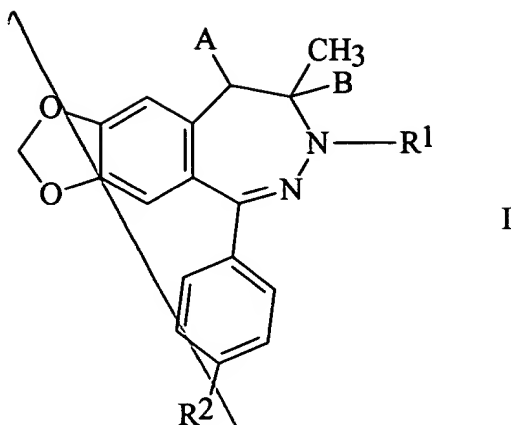
m has a value of 0, 1 or 2,

R² stands for a nitro group or an amino group, with the proviso that

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- 1) if n and m have a value of 0, then one of R³ and R⁴ represents a hydrogen atom, and the other of R³ and R⁴ is different from a hydrogen atom, a phenyl group or a C₁₋₄ alkyl group,
 - 2) if n has a value of 0, m has a value of 1 or 2, and one of R³ and R⁴ stands for a hydrogen atom or a C₁₋₄ alkyl group, then the other of R³ and R⁴ is different from a hydrogen atom or a C₁₋₄ alkyl group, and
 - 3) R³ and R⁴ cannot form with the adjacent nitrogen atom a pyrrolidine group,
or a pharmaceutically suitable acid addition salt thereof
as the active ingredient.
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16. (Thrice Amended) A method of treatment in which a patient suffering from epilepsy or being in a state after stroke is treated with a non-toxic dose of a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R¹ stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R³ and R⁴ mean, independently, a hydrogen atom, a C₃₋₆ cycloalkyl group, a C₁₋₄ alkoxy group, an amino group, a phenyl group optionally substituted by one or two C₁₋₄ alkyl group(s), a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted

by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C₁₋₄ alkoxy group, or R³ and R⁴ form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C₁₋₄ alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R¹ represents a group of the formula

-CO-(CH₂)_p-R⁶, wherein

R⁶ stands for a halo atom, a phenoxy group, a C₁₋₄ alkoxy group or a group of the formula -NR⁷R⁸, wherein

R⁷ and R⁸ mean, independently, a hydrogen atom, a guanyl group, a C₃₋₆ cycloalkyl group or a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and

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comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C₁₋₄ alkoxy group, or

R⁷ and R⁸ form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C₁₋₄ alkyl) group or a phenoxy(C₁₋₄ alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C₁₋₄ alkoxy group, and, in case of the phenoxy(C₁₋₄ alkyl)

group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R² stands for a nitro group, an amino group or a (C₁₋₄ alkanoyl)amino group, with the proviso that

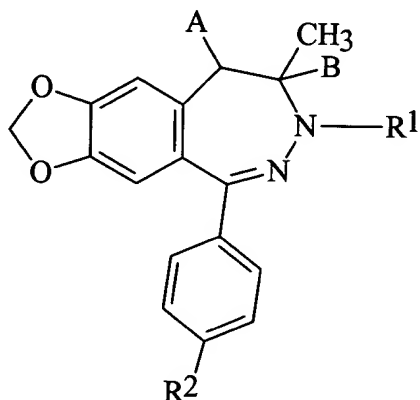
- 1) if A forms together with B a valence bond, R² stands for a nitro group or an amino group and p has a value of 0, then R⁶ is different from a C₁₋₄ alkoxy group,
- 2) if A forms together with B a valence bond, R² stands for a nitro group or an amino group, p has a value of 0 or 1, and R⁶ represents a group of the formula -NR⁷R⁸, then one of R⁷ and R⁸ is different from a hydrogen atom or a C₁₋₄ alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R³ and R⁴ represents a hydrogen atom, and the other of R³ and R⁴ is different from a hydrogen atom, a phenyl group or a C₁₋₁₄ alkyl group,
- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R³ and R⁴ stands for a

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hydrogen atom or a C₁₋₁₄ alkyl group, then the other of R³ and R⁴ is different from a hydrogen atom or a C₁₋₄ alkyl group,

- 5) R³ and R⁴ cannot form with the adjacent nitrogen atom a pyrrolidine group, and
- 6) R is other than a chlorine atom;
or a pharmaceutically suitable acid addition salt thereof.

17. (Thrice Amended) A process for preparing a pharmaceutical composition suitable for the treatment of epilepsy or a state after stroke, characterized in that a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,



I

wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R¹ stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R^3 and R^4 mean, independently, a hydrogen atom, a C_{3-6} cycloalkyl group, a C_{1-4} alkoxy group, an amino group, a phenyl group optionally substituted by one or two C_{1-4} alkyl group(s), a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C_{1-4} alkoxy group, or R^3 and R^4 form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C_{1-4} alkoxy group,

n has a value of 0, 1 or 2,

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m has a value of 0, 1 or 2, or

A forms together with B a valence bond between carbon atoms in positions 8 and 9, and in this case

R¹ represents a group of the formula

-CO-(CH₂)_p-R⁶, wherein

R⁶ stands for a halo atom, a phenoxy group, a C₁₋₄ alkoxy group or a group of the formula -NR⁷R⁸, wherein

R⁷ and R⁸ mean, independently, a hydrogen atom, a guanyl group, a C₃₋₆ cycloalkyl group or a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C₁₋₄ alkoxy group, or

R⁷ and R⁸ form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an

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oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C₁₋₄ alkyl) group or a phenoxy(C₁₋₄ alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C₁₋₄ alkoxy group, and, in case of the phenoxy(C₁₋₄ alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R² stands for a nitro group, an amino group or a (C₁₋₄ alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R² stands for a nitro group or an amino group and p has a value of 0, then R⁶ is different from a C₁₋₄ alkoxy group,
- 2) if A forms together with B a valence bond, R² stands for a nitro group or an amino group, p

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has a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-4} alkyl group,

- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom, a phenyl group or a C_{1-14} alkyl group,
- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-4} alkyl group, then the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group,
- 5) R is other than a chlorine atom; and with the further proviso that
- 6) R^3 and R^4 cannot form with the adjacent nitrogen atom a pyrrolidine group,

or a pharmaceutically suitable acid addition salt thereof, together with one or more conventional carrier(s), is converted to a pharmaceutical composition.